

(12) INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(19) World Intellectual Property Organization
International Bureau



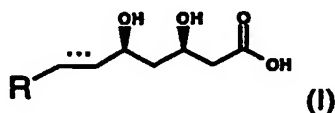
(43) International Publication Date
7 August 2003 (07.08.2003)

PCT

(10) International Publication Number
WO 03/064392 A1

- (51) International Patent Classification⁷: **C07D 215/14**, 405/06, 209/18, C07B 53/00
- (74) Agent: GROS, Florent; Novartis AG, Corporate Intellectual Property, Patent & Trademark Department, CH-4002 Basel (CH).
- (21) International Application Number: PCT/EP03/00954
- (22) International Filing Date: 30 January 2003 (30.01.2003)
- (25) Filing Language: English
- (26) Publication Language: English
- (30) Priority Data:
60/353,787 31 January 2002 (31.01.2002) US
- (81) Designated States (*national*): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LT, LU, LV, MA, MD, MK, MN, MX, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SE, SG, SK, TJ, TM, TN, TR, TT, UA, US, UZ, VC, VN, YU, ZA, ZW.
- (84) Designated States (*regional*): Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR).
- (71) Applicant (*for all designated States except AT, US*): NO-VARTIS AG [CH/CH]; Lichtstrasse 35, CH-4056 Basel (CH).
- (71) Applicant (*for AT only*): NOVARTIS PHARMA GMBH [AT/AT]; Brunner Strasse 59, A-1230 Vienna (AT).
- (72) Inventors; and
- (75) Inventors/Applicants (*for US only*): ACEMOGLU, Murat [CH/CH]; Grellingerstrasse 53, CH-4052 Basel (CH). RISS, Bernhard [FR/FR]; Rue du Dr. Mangeney 44, F-68330 Huningue (FR).
- Published:**
- with international search report
 - before the expiration of the time limit for amending the claims and to be republished in the event of receipt of amendments
- For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.*

(54) Title: PROCESS FOR THE MANUFACTURE OF HMG-COA REDUCTASE INHIBITORS



(57) Abstract: The invention relates to a process for the manufacture of a compound of formula (I) or a salt, especially a pharmaceutically acceptable salt with a base, thereof or a lactone thereof wherein the element (II) represents -CH₂-CH₂- or -CH=CH- and R represents a cyclic residue.

502,177



WO 03/064392 A1

INTERNATIONAL SEARCH REPORT

International Application No

PCT/EP 03/00954

A. CLASSIFICATION OF SUBJECT MATTER

IPC 7 C07D215/14 C07D405/06 C07D209/18 C07B53/00

According to International Patent Classification (IPC) or to both national classification and IPC

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)

IPC 7 C07D C07B

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)

CHEM ABS Data, BEILSTEIN Data

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
A	US 5 049 577 A (R.K. VARMA ET AL) 17 September 1991 (1991-09-17) column 7, line 23 - column 8, line 56; examples 1-L - 1-R, 2 ---	1,3,5
A	P.D. THEISEN ET AL: J. ORG. CHEM., vol. 53, no. 10, 1988, pages 2374-2378, XP002218099 page 2377, preparation compound 12; page 2378, preparation compound 15 ---	1,4,5
A	EP 0 340 007 A (E.R. SQUIBB & SONS INC) 2 November 1989 (1989-11-02) the whole document ---	1,5
A	US 5 034 399 A (W. HÜBSCH ET AL) 23 July 1991 (1991-07-23) column 16, line 19 - column 17, line 55 ---	1,3
-/--		



Further documents are listed in the continuation of box C.



Patent family members are listed in annex.

* Special categories of cited documents:

- *A* document defining the general state of the art which is not considered to be of particular relevance
- *E* earlier document but published on or after the international filing date
- *L* document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)
- *O* document referring to an oral disclosure, use, exhibition or other means
- *P* document published prior to the international filing date but later than the priority date claimed

T later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention

X document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone

Y document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art.

8 document member of the same patent family

Date of the actual completion of the international search

4 July 2003

Date of mailing of the international search report

21/07/2003

Name and mailing address of the ISA

European Patent Office, P.B. 5818 Patentlaan 2
NL - 2280 HV Rijswijk
Tel. (+31-70) 340-2040, Tx. 31 651 epo nl,
Fax: (+31-70) 340-3016

Authorized officer

Van Amsterdam, L

INTERNATIONAL SEARCH REPORT

International Application No

PCT/EP 03/00954

C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
A	US 5 354 772 A (F.G. KATHAWALA) 11 October 1994 (1994-10-11) column 34, lines 19-61; examples 5-9 ---	1-3
A	US 5 856 336 A (Y. FUJIKAWA ET AL) 5 January 1999 (1999-01-05) cited in the application columns 5-8; table 11, compound I-520 -----	1,2

INTERNATIONAL SEARCH REPORT

Information on patent family members

International Application No

PCT/EP 03/00954

Patent document cited in search report		Publication date	Patent family member(s)	Publication date
US 5049577	A	17-09-1991	NONE	
EP 340007	A	02-11-1989	US 4804770 A EP 0340007 A2 JP 1316391 A	14-02-1989 02-11-1989 21-12-1989
US 5034399	A	23-07-1991	DE 3911064 A1 AT 99310 T AU 622010 B2 AU 5254290 A CA 2013783 A1 DE 59003994 D1 DK 391185 T3 EP 0391185 A1 ES 2062145 T3 HU 53904 A2 JP 2290876 A PT 93662 A ZA 9002627 A	11-10-1990 15-01-1994 26-03-1992 11-10-1990 06-10-1990 10-02-1994 11-04-1994 10-10-1990 16-12-1994 28-12-1990 30-11-1990 20-11-1990 30-01-1991
US 5354772	A	11-10-1994	US 4739073 A AT 31718 T AU 570021 B2 AU 2261283 A CA 1210405 A1 CY 1579 A DE 3375137 D1 DK 97890 A ,B, DK 359284 A WO 8402131 A1 EP 0114027 A1 ES 8506622 A1 FI 842615 A ,B, GR 79042 A1 HK 11191 A HU 35642 A2 IE 56262 B1 IL 70286 A JP 1752942 C JP 3047167 A JP 4040343 B JP 2046031 B JP 60500015 T KR 9009006 B1 LU 88670 A9 NZ 206338 A PH 23486 A PT 77694 A ,B ZA 8308718 A	19-04-1988 15-01-1988 03-03-1988 18-06-1984 26-08-1986 20-12-1991 11-02-1988 19-04-1990 20-07-1984 07-06-1984 25-07-1984 16-11-1985 28-06-1984 02-10-1984 13-02-1991 29-07-1985 05-06-1991 31-08-1987 23-04-1993 28-02-1991 02-07-1992 12-10-1990 10-01-1985 17-12-1990 29-04-1996 31-08-1987 16-08-1989 01-12-1983 28-08-1985
US 5856336	A	05-01-1999	US 5872130 A US 5854259 A AT 114645 T CA 1336714 C DE 3852243 D1 DE 3852243 T2 EP 0304063 A2 ES 2067460 T3	16-02-1999 29-12-1998 15-12-1994 15-08-1995 12-01-1995 14-06-1995 22-02-1989 01-04-1995

INTERNATIONAL SEARCH REPORT

Information on patent family members

International Application No

PCT/EP 03/00954

Patent document cited in search report	Publication date	Patent family member(s)	Publication date
US 5856336	A	GR 3015186 T3	31-05-1995
		HU 9500280 A3	28-09-1995
		JP 1279866 A	10-11-1989
		JP 2569746 B2	08-01-1997
		KR 9600852 B1	13-01-1996
		US 5011930 A	30-04-1991
		US 5102888 A	07-04-1992
		US 5185328 A	09-02-1993
<hr/>			